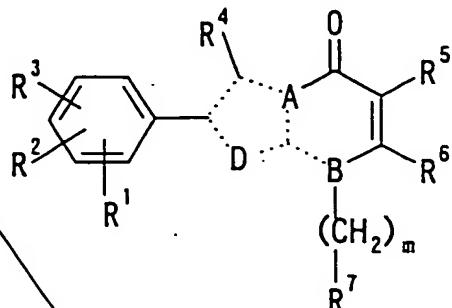


## CLAIMS

## 1. A compound of the formula (I):



wherein one of A and D represents a nitrogen atom and the

5 other represents a carbon atom, or both represent a nitrogen atom;

B represents a nitrogen atom or a carbon atom;

m represents an integer from 0 to 3;

10 R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> each represents (i) hydrogen or (ii) a group bound via a carbon atom, a nitrogen atom, an oxygen atom or a sulfur atom;

R<sup>4</sup> represents a group bound via a carbon atom;

15 R<sup>5</sup> represents (i) hydrogen, (ii) halogen or (iii) a group bound via a carbon atom or an oxygen atom;

R<sup>6</sup> represents hydrogen or a group bound via a carbon atom;

20 R<sup>7</sup> represents a homocyclic group which may be substituted or a heterocyclic group which may be substituted; and each dotted line represents a single bond or a double bond, or a salt thereof.

## 2. A compound of claim 1 or a salt thereof,

wherein

25 R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> each is (1) hydrogen,  
 (2) a hydrocarbon group which may be substituted,  
 (3) an acyl group which may be substituted,

C  
cont

C  
Cont

(4) a heterocyclic group having a bond in a carbon atom thereof which may be substituted,

5 (5) a group of the formula:  $-COOR^{21}$  wherein  $R^{21}$  is hydrogen, a hydrocarbon group which may be substituted or a heterocyclic group which may be substituted,

10 (6) a group of the formula:  $-CO-NR^{15}R^{16}$  wherein  $R^{15}$  is hydrogen, a hydrocarbon group which may be substituted or a  $C_{1-10}$  alkoxy group; and  $R^{16}$  is hydrogen or a hydrocarbon group which may be substituted; or  $R^{15}$  and  $R^{16}$  form, taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted,

15 (7) a cyano group,

(8) a nitro group,

20 (9) a group of the formula:  $-NR^8R^9$  wherein  $R^8$  is (i) hydrogen, (ii) a hydrocarbon group which may be substituted, (iii) an acyl group which may be substituted, (iv) a group of the formula:  $-O-R^{13}$  wherein  $R^{13}$  is hydrogen, a  $C_{1-10}$  hydrocarbon group which may be substituted, a  $C_{1-20}$  acyl group which may be substituted, a  $C_{1-20}$  alkylsulfonyl group which may be substituted, a  $C_{6-14}$  arylsulfonyl group which may be substituted

25 or a heterocyclic group which may be substituted, (v) a heterocyclic group which may be substituted or (vi) a group of the formula:  $-S(O)^t-R^{12}$  wherein  $t$  is an integer from 0 to 2, and  $R^{12}$  is hydrogen or a  $C_{1-10}$  hydrocarbon group which may be substituted;

*C 1  
cont*

$R^9$  is hydrogen, a hydrocarbon group which may be substituted or an acyl group which may be substituted; or

5  $R^8$  and  $R^9$  form, taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted,

(10) a group of the formula:  $-O-R^{13}$  wherein  $R^{13}$  is as defined above, or

10 (11) a group of the formula:  $-S(O)t-R^{14}$  wherein  $t$  is an integer from 0 to 2, and  $R^{14}$  is hydrogen, a hydrocarbon group which may be substituted or a heterocyclic group which may be substituted;

$R^4$  is (1) a hydrocarbon group which may be substituted,

15 (2) an acyl group which may be substituted,

(3) a heterocyclic group having a bond in a carbon atom thereof which may be substituted,

(4) a group of the formula:  $-COOR^{21}$  wherein  $R^{21}$  is as defined above,

20 (5) a group of the formula:  $-CO-NR^{15}R^{16}$  wherein each symbol is as defined above, or

(6) a cyano group;

$R^5$  is (1) hydrogen,

(2) halogen,

25 (3) a hydrocarbon group which may be substituted,

(4) an acyl group which may be substituted,

(5) a heterocyclic group having a bond in a carbon atom thereof which may be substituted,

(6) a group of the formula:  $-COOR^{21}$  wherein  $R^{21}$  is as defined above,

(7) a group of the formula:  $-\text{CO}-\text{NR}^{15}\text{R}^{16}$  wherein  
each symbol is as defined above.

(8) a cyano group, or

(9) a group of the formula:  $-\text{O}-\text{R}^{13}$  wherein  $\text{R}^{13}$  is  
as defined above;

R<sup>6</sup> is (1) hydrogen,

(2) a hydrocarbon group which may be substituted,

(3) an acyl group which may be substituted,

(4) a heterocyclic group having a bond in a  
carbon atom thereof which may be substituted,

(5) a group of the formula:  $-\text{COOR}^{21}$  wherein  $\text{R}^{21}$   
is as defined above,

(6) a group of the formula:  $-\text{CO}-\text{NR}^{15}\text{R}^{16}$  wherein  
each symbol is as defined above, or

(7) a cyano group;

R<sup>7</sup> is (i) a C<sub>6</sub>-10 aryl or C<sub>3</sub>-7 cycloalkyl group,  
each of which may be substituted by 1 to 6  
substituents selected from the group consisting  
of (1) C<sub>1</sub>-15 alkyl which may be substituted by 1  
to 3 halogen, (2) C<sub>3</sub>-10 cycloalkyl, (3) C<sub>2</sub>-10  
alkenyl, (4) C<sub>2</sub>-10 alkynyl, (5) C<sub>3</sub>-10  
cycloalkenyl, (6) C<sub>6</sub>-10 aryl, (7) C<sub>7</sub>-20 aralkyl,  
(8) nitro, (9) hydroxy, (10) mercapto, (11) oxo,  
(12) thioxo, (13) cyano, (14) carbamoyl, (15)  
carboxyl, (16) C<sub>1</sub>-6 alkoxy-carbonyl, (17) sulfo,  
(18) halogen, (19) C<sub>1</sub>-6 alkoxy, (20) C<sub>6</sub>-10  
aryloxy, (21) C<sub>1</sub>-6 alkanoyloxy, (22) C<sub>1</sub>-6  
alkylthio, (23) C<sub>6</sub>-10 arylthio, (24) C<sub>1</sub>-6  
alkylsulfinyl, (25) C<sub>6</sub>-10 arylsulfinyl, (26) C<sub>1</sub>-6  
alkylsulfonyl, (27) C<sub>6</sub>-10 arylsulfonyl, (28)

amino, (29)  $C_{1-6}$  alkanoylamino, (30) mono- or di-  
~~C<sub>1-4</sub> alkylamino, (31)  $C_{3-8}$  cycloalkylamino, (32)~~  
~~*C<sub>6-10</sub>* arylamino, (33)  $C_{1-6}$  alkanoyl, (34)  $C_{6-10}$~~   
~~aryl-carbonyl and (35) 5- to 6-membered~~  
~~heterocyclic group, or~~  
 (ii) a heterocyclic group which may be substituted,  
 in which "hydrocarbon group" is a  $C_{1-20}$   
 hydrocarbon group selected from  $C_{1-15}$  alkyl,  $C_{3-10}$  cycloalkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl,  $C_{3-10}$  cycloalkenyl,  $C_{6-14}$  aryl and  $C_{7-20}$  aralkyl;  
 "C<sub>1-10</sub> hydrocarbon group" is a  $C_{1-10}$  alkyl,  $C_{3-10}$  cycloalkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl,  $C_{3-10}$  cycloalkenyl,  $C_{6-10}$  aryl or phenyl- $C_{1-4}$  alkyl group;  
 "acyl group" and "C<sub>1-20</sub> acyl group" each is formyl,  $C_{1-6}$  alkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl,  $C_{6-14}$  aryl-carbonyl,  $C_{6-14}$  aryloxy-carbonyl,  $C_{6-14}$  aryl- $C_{1-6}$  alkyl-carbonyl,  $C_{6-14}$  aryl- $C_{1-6}$  alkoxy-carbonyl,  $C_{2-4}$  alkenyl-carbonyl,  $C_{3-6}$  cycloalkyl-carbonyl or tricyclic bridged  $C_{9-10}$  hydrocarbon-carbonyl;  
 "heterocyclic group" is (1) a 5- to 8-membered heterocyclic group containing 1 to 4 hetero atoms selected from oxygen atoms, sulfur atoms, nitrogen atoms in addition to carbon atoms, (2) a bi- or tri-cyclic condensed heterocyclic group resulting from condensation of 2 or 3 of the above (1) heterocyclic group, whether identical or not, or (3) a bi- or tri-cyclic

*C  
cont*

condensed heterocyclic group resulting from condensation of the above (1) heterocyclic group and 1 or 2 benzene rings:

5 "cyclic amino group" is a 5- to 7-membered cyclic amino group optionally containing 1 to 3 hetero atoms selected from oxygen atoms, sulfur atoms, nitrogen atoms in addition to carbon atoms and a nitrogen atom;

10 "substituent(s)" for the "hydrocarbon group which may be substituted", the "C<sub>1-10</sub> hydrocarbon group which may be substituted", the "acyl group which may be substituted", "C<sub>1-20</sub> acyl group which may be substituted", the "C<sub>1-20</sub> alkylsulfonyl group which may be substituted" or 15 the "C<sub>6-14</sub> arylsulfonyl group which may be substituted" is selected from 1 to 6 of (1) halogen, (2) nitro, (3) nitroso, (4) cyano, (5)(i) C<sub>1-6</sub> alkyl which may be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, C<sub>1-6</sub> alkoxy, C<sub>1-3</sub> alkoxy-C<sub>1-3</sub> alkoxy, C<sub>1-3</sub> alkoxy, C<sub>1-3</sub> alkylthio, hydroxy-C<sub>1-3</sub> alkoxy, C<sub>1-6</sub> alkyl-carbonyl, carboxy, carbamoyl, C<sub>1-6</sub> 20 alkyl-carbamoyl, 5- to 8-membered heterocyclic group and halogen, (ii) C<sub>1-4</sub> alkanoyl or C<sub>2-4</sub> alkenoyl, (iii) C<sub>6-14</sub> aryl-C<sub>1-6</sub> alkyl which may 25 be substituted by 1 to 3 substituents selected from the group consisting of halogen, C<sub>1-3</sub> alkoxy and C<sub>1-4</sub> alkyl, (iv) C<sub>6-14</sub> aryl which may be substituted by 1 to 3 halogen, (v) C<sub>2-6</sub> alkenyl, (vi) C<sub>3-7</sub> cycloalkyl, (vii) C<sub>1-3</sub> alkoxy-carbonyl, 30 (viii) C<sub>1-3</sub> alkyl-carbonyl.

100-222-0007-02402

(viii) mono- or di- $C_{1-6}$  alkyl amino, (ix)  $C_{2-6}$  alkenyl amino, (x)  $C_{1-3}$  alkoxy-carbonyl, (xi) formyl or  $C_{1-6}$  alkyl-carbonyl, or (xii) hydroxy which may be substituted by  $C_{3-6}$  cycloalkyloxy-carbonyl, (6) a group of the formula:  $-S(O)t-R^{17}$  wherein  $t$  is an integer from 0 to 2, and  $R^{17}$  is (i) hydrogen or (ii) a  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl or  $C_{7-20}$  aralkyl group which may be substituted by 1 to 3 substituents selected from the group consisting of halogen, nitro, cyano, hydroxy, oxo, thioxo, carboxy, cyano- $C_{6-14}$  aryl and halogeno- $C_{6-14}$  aryl, (7) a group of the formula:  $-NR^{18}R^{19}$  wherein  $R^{18}$  and  $R^{19}$  each is hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkylamino- $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{2-6}$  alkenyl,  $C_{3-7}$  cycloalkyl, phenyl, phenyl- $C_{1-6}$  alkyl,  $C_{1-6}$  alkanoyl,  $C_{3-6}$  alkenoyl,  $C_{4-7}$  cycloalkyl-carbonyl, phenyl- $C_{1-6}$  alkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl, phenyl- $C_{1-6}$  alkoxy-carbonyl or 5- to 8-membered heterocyclic group, (8) a group of the formula:  $-CO-R^{20}$  wherein  $R^{20}$  is (i) hydrogen, (ii) hydroxy, (iii)  $C_{1-10}$  alkyl or (iv)  $C_{1-6}$  alkoxy which may be substituted by  $C_{6-14}$  aryl which may be substituted by 1 to 3 substituents selected from the group consisting of halogen and nitro, (v)  $C_{3-6}$  cycloalkyl, (vi)  $C_{6-14}$  aryl, (vii)  $C_{6-14}$  aryloxy, (viii)  $C_{7-20}$  aralkyl, (ix) a group of the formula:  $-NR^{10}R^{11}$  wherein  $R^{10}$  is hydrogen, a  $C_{1-10}$  hydrocarbon group which may be substituted, a  $C_{1-20}$  acyl group which may be substituted, a group of the

formula:  $-O-R^{13}$  wherein  $R^{13}$  is as defined above,  
 a heterocyclic group which may be substituted or  
 a group of the formula:  $-S(O)t-R^{12}$  wherein each  
 symbol is as defined above; and  $R^{11}$  is hydrogen  
 or a  $C_{1-10}$  hydrocarbon group; or  $R^{10}$  and  $R^{11}$  form,  
 taken together with the adjacent nitrogen atom, a  
 cyclic amino group which may be substituted, or  
 (x) 5- to 8-membered heterocyclic group, (9) 5-  
 to 8-membered heterocyclic group which may be  
 10 substituted by 1 to 3 substituents selected from  
 the group consisting of hydroxy, amino, mono- or  
 di- $C_{1-4}$  alkylamino,  $C_{1-4}$  alkoxy, halogen, nitro  
 and  $C_{1-6}$  alkyl, (10) sulfo, (11)  $C_{6-14}$  aryl which  
 may be substituted by 1 to 3 substituents  
 15 selected from the group consisting of hydroxy,  
 amino, mono- or di- $C_{1-4}$  alkylamino,  $C_{1-4}$  alkoxy,  
 halogen, nitro and  $C_{1-6}$  alkyl, (12)  $C_{3-7}$   
 cycloalkyl which may be substituted by 1 to 3  
 20 substituents selected from the group consisting  
 of hydroxy, amino, mono- or di- $C_{1-4}$  alkylamino,  
 $C_{1-4}$  alkoxy, halogen, nitro and  $C_{1-6}$  alkyl, (13)  
 $C_{1-6}$  alkylenedioxy, (14) oxo, (15) thioxo, (16)  
 $C_{2-4}$  alkynyl which may be substituted by 1 to 3  
 25 substituents selected from the group consisting  
 of hydroxy, amino, mono- or di- $C_{1-4}$  alkylamino,  
 $C_{1-4}$  alkoxy, halogen, nitro and  $C_{1-6}$  alkyl, (17)  
 $C_{3-10}$  cycloalkyl which may be substituted by 1 to  
 3 substituents selected from the group consisting  
 of hydroxy, amino, mono- or di- $C_{1-4}$  alkylamino,  
 30  $C_{1-4}$  alkoxy, halogen, nitro and  $C_{1-6}$  alkyl, (18)

2010 RELEASE UNDER E.O. 14176

C1  
 C2  
 5

C<sub>2</sub>-10 alkenyl which may be substituted by 1 to 3  
 substituents selected from the group consisting  
 of hydroxy, amino, mono- or di-C<sub>1-4</sub> alkylamino,  
 C<sub>1-4</sub> alkoxy, halogen, nitro and C<sub>1-6</sub> alkyl. (19)  
 C<sub>7-20</sub> aralkyl which may be substituted by 1 to 3  
 substituents selected from the group consisting  
 of hydroxy, amino, mono- or di-C<sub>1-4</sub> alkylamino,  
 C<sub>1-4</sub> alkoxy, halogen, nitro and C<sub>1-6</sub> alkyl. (20)  
 amidino and (21) azido;  
 10        "substituent(s)" for the "heterocyclic group  
 which may be substituted" or the "heterocyclic  
 group having a bond in a carbon atom thereof  
 which may be substituted" is selected from 1 to 6  
 of (1) C<sub>1-6</sub> alkyl, (2) C<sub>2-6</sub> alkenyl, (3) C<sub>2-6</sub>  
 15        alkynyl, (4) C<sub>3-6</sub> cycloalkyl, (5) C<sub>5-7</sub>  
 cycloalkenyl, (6) C<sub>6-10</sub> aryl-C<sub>1-5</sub> alkyl, (7) C<sub>6-14</sub>  
 aryl, (8) C<sub>1-6</sub> alkoxy, (9) C<sub>6-14</sub> aryloxy, (10)  
 C<sub>1-6</sub> alkanoyl, (11) C<sub>6-14</sub> aryl-carbonyl, (12) C<sub>1-6</sub>  
 20        alkanoyloxy, (13) C<sub>6-14</sub> aryl-carbonyloxy, (14)  
 carboxyl, (15) C<sub>1-6</sub> alkoxy-carbonyl, (16)  
 carbamoyl, (17) N-mono-C<sub>1-4</sub> alkylcarbamoyl, (18)  
 25        N,N-di-C<sub>1-4</sub> alkylcarbamoyl, (19) 3- to 6-membered  
 cyclic aminocarbonyl, (20) halogen, (21) mono-,  
 di- or tri-halogeno-C<sub>1-4</sub> alkyl, (22) oxo, (23)  
 amidino, (24) imino, (25) amino, (26) mono- or  
 30        di-C<sub>1-4</sub> alkylamino, (27) 3- to 6-membered cyclic  
 amino, (28) C<sub>1-6</sub> alkanoylamino, (29) benzamido,  
 (30) carbamoylamino, (31) N-C<sub>1-4</sub>  
 alkylcarbamoylamino, (32) N,N-di-C<sub>1-4</sub>  
 alkylcarbamoylamino, (33) C<sub>1-3</sub> alkylenedioxy.

(34)  $-B(OH)_2$ , (35) hydroxy, (36) epoxy, (37) nitro, (38) cyano, (39) mercapto, (40) sulfo, (41) sulfino, (42) phosphono, (43) sulfamoyl, (44)  $C_{1-6}$  alkylsulfamoyl, (45) di- $C_{1-6}$  alkylsulfamoyl, (46)  $C_{1-6}$  alkylthio, (47) phenylthio, (48)  $C_{1-6}$  alkylsulfinyl, (49) phenylsulfinyl, (50)  $C_{1-6}$  alkylsulfonyl and (51) phenylsulfonyl; and

10 "substituent(s)" for the "cyclic amino group which may be substituted" is selected from 1 to 3 of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl, phenyl- $C_{1-4}$  alkyl, benzhydryl,  $C_{1-6}$  alkyl-carbonyl,  $C_{6-14}$  aryl-carbonyl and  $C_{1-6}$  alkoxy-carbonyl.

3. A compound of claim 1 or a salt thereof, wherein A is a nitrogen atom.

15 4. A compound of claim 1 or a salt thereof, wherein B is a nitrogen atom.

5. A compound of claim 1 or a salt thereof, wherein D is a nitrogen atom.

6. A compound of claim 1 or a salt thereof, wherein m is 1.

20 7. A compound of claim 1 or a salt thereof, wherein  $R^1$  is (1) a  $C_{1-15}$  alkyl group which may be substituted, (2) a  $C_{3-10}$  cycloalkyl group which may be substituted, (3) a  $C_{2-10}$  alkenyl group which may be substituted, (4) a  $C_{2-10}$  alkynyl group which may be substituted, (5) a  $C_{3-10}$  cycloalkenyl group which may be substituted, (6) a  $C_{6-14}$  aryl group which may be substituted, (7) a  $C_{7-20}$  aralkyl group which may be substituted, (8) a  $C_{1-20}$  acyl group which may be substituted, (9) a nitro group, (10) a group of the

*C  
cont*

RIGHTS RESERVED - DO NOT REPRODUCE

formula:  $-NR^{10}R^{11}$  wherein  $R^{10}$  is hydrogen, a  $C_{1-10}$  hydrocarbon group which may be substituted, a  $C_{1-20}$  acyl group which may be substituted, a hydroxy group which may be substituted, a heterocyclic group which may be substituted or a group of the formula:  $-S(O)t-R^{12}$  wherein  $t$  is an integer from 0 to 2, and  $R^{12}$  is hydrogen or a  $C_{1-10}$  hydrocarbon group which may be substituted;  $R^{11}$  is hydrogen or a  $C_{1-10}$  hydrocarbon group; or  $R^{10}$  and  $R^{11}$  taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted, or (11) a group of the formula:  $-O-R^{13}$  wherein  $R^{13}$  is hydrogen, a  $C_{1-10}$  hydrocarbon group which may be substituted, a  $C_{1-20}$  acyl group which may be substituted, a  $C_{1-20}$  alkylsulfonyl group which may be substituted, a  $C_{6-14}$  arylsulfonyl group which may be substituted, or a heterocyclic group which may be substituted; and  $R^2$  and  $R^3$  each is hydrogen.

8. A compound of claim 1 or a salt thereof, wherein  $R^2$  and  $R^3$  each is hydrogen.

9. A compound of claim 8 or a salt thereof, wherein the position of  $R^1$  is para-position.

10. A compound of claim 1 or a salt thereof, wherein  $R^1$  is (1) an amino group which may be substituted by (i) carbamoyl which may be substituted by  $C_{1-6}$  alkyl or  $C_{1-6}$  alkoxy, or (ii)  $C_{1-6}$  alkyl-carbonyl, or (2) a  $C_{1-6}$  alkoxy group which may be substituted by  $C_{3-6}$  cycloalkyl.

11. A compound of claim 1 or a salt thereof, wherein  $R^4$  is a  $C_{1-15}$  alkyl group which may be substituted, a  $C_{3-10}$  cycloalkyl group which may be

*C1*  
*Cont 5*

substituted, a C<sub>2</sub>-10 alkenyl group which may be substituted, a C<sub>2</sub>-10 alkynyl group which may be substituted, a C<sub>3</sub>-10 cycloalkenyl group which may be substituted, a C<sub>6</sub>-14 aryl group which may be substituted or a C<sub>7</sub>-20 aralkyl group which may be substituted.

12. A compound of claim 1 or a salt thereof, wherein R<sup>4</sup> is a C<sub>1</sub>-6 alkyl group which may be substituted.

13. A compound of claim 1 or a salt thereof, wherein R<sup>4</sup> is a C<sub>1</sub>-6 alkyl group which may be substituted

10 by halogen, hydroxy which may be substituted or amino which may be substituted.

14. A compound of claim 1 or a salt thereof, wherein R<sup>4</sup> is a group of the formula: -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>R<sup>11</sup>

15 wherein n is an integer from 1 to 3; R<sup>10</sup> is hydrogen, a C<sub>1</sub>-10 hydrocarbon group which may be substituted, a C<sub>1</sub>-20

acyl group which may be substituted, a hydroxy group which may be substituted, a heterocyclic group which may be substituted, or a group of the formula: -S(O)<sub>t</sub>-R<sup>12</sup>

20 wherein t is an integer from 0 to 2, and R<sup>12</sup> is hydrogen or a C<sub>1</sub>-10 hydrocarbon group which may be substituted;

and R<sup>11</sup> is hydrogen or a C<sub>1</sub>-10 hydrocarbon group; or R<sup>10</sup> and R<sup>11</sup> form, taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted.

15. A compound of claim 1 or a salt thereof, wherein R<sup>4</sup> is a N-C<sub>1</sub>-6 alkyl-N-benzylaminomethyl group.

16. A compound of claim 1 or a salt thereof, wherein R<sup>5</sup> is hydrogen, halogen, a C<sub>1</sub>-15 alkyl group which may be substituted, a C<sub>3</sub>-10 cycloalkyl group which may be substituted, a C<sub>2</sub>-10 alkenyl group which may be substituted, a C<sub>2</sub>-10 alkynyl group which may be

*C  
cont<sup>5</sup>*

substituted, a C<sub>3</sub>-10 cycloalkenyl group which may be substituted, a C<sub>6</sub>-14 aryl group which may be substituted, a C<sub>7</sub>-20 aralkyl group which may be substituted, a C<sub>1</sub>-20 acyl group which may be substituted, a carboxy group which may be esterified or amidated, or a group of the formula: -O-R<sup>13</sup> wherein R<sup>13</sup> is hydrogen or a C<sub>1</sub>-15 alkyl group which may be substituted, a C<sub>3</sub>-10 cycloalkyl group which may be substituted, a C<sub>2</sub>-10 alkenyl group which may be substituted, a C<sub>2</sub>-10 alkynyl group which may be substituted, a C<sub>3</sub>-10 cycloalkenyl group which may be substituted, a C<sub>6</sub>-14 aryl group which may be substituted, a C<sub>7</sub>-20 aralkyl group which may be substituted, a C<sub>1</sub>-20 acyl group which may be substituted, a C<sub>1</sub>-20 alkylsulfonyl group which may be substituted, a C<sub>6</sub>-14 arylsulfonyl group which may be substituted or a heterocyclic group which may be substituted.

10 17. A compound of claim 1 or a salt thereof, wherein R<sup>5</sup> is (1) a C<sub>1</sub>-6 alkoxy-carbonyl group, (2) a C<sub>6</sub>-10 aryl group which may be substituted by halogen or C<sub>1</sub>-6 alkoxy, or (3) a phenyl-C<sub>1</sub>-3 alkyl group.

15 18. A compound of claim 1 or a salt thereof, wherein R<sup>6</sup> is hydrogen, a C<sub>1</sub>-15 alkyl group which may be substituted, a C<sub>3</sub>-10 cycloalkyl group which may be substituted, a C<sub>2</sub>-10 alkenyl group which may be substituted, a C<sub>2</sub>-10 alkynyl group which may be substituted, a C<sub>3</sub>-10 cycloalkenyl group which may be substituted, a C<sub>6</sub>-14 aryl group which may be substituted or a C<sub>7</sub>-20 aralkyl group which may be substituted.

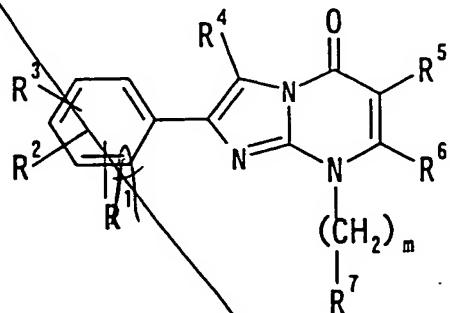
20 19. A compound of claim 1 or a salt thereof, wherein R<sup>6</sup> is hydrogen or a C<sub>1</sub>-6 alkyl group.

20. A compound of claim 1 or a salt thereof, wherein R<sup>7</sup> is a C<sub>6</sub>-14 aryl group which may be substituted.

*C / cont<sub>5</sub>*  
21. A compound of claim 1 or a salt thereof, wherein R<sup>7</sup> is a phenyl group which may be substituted by halogen(s).

22. A compound of claim 1 or a salt thereof, wherein one of A and D represents a nitrogen atom and the other represents a carbon atom, or both represent a nitrogen atom; B represents a nitrogen atom or a carbon atom; m represents an integer from 0 to 3; R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> each represents (i) hydrogen or (ii) a group bound via a carbon atom, a nitrogen atom, an oxygen atom or a sulfur atom; R<sup>4</sup> represents a group bound via a carbon atom; R<sup>5</sup> represents hydrogen or a group bound via a carbon atom or an oxygen atom; R<sup>6</sup> represents hydrogen or a group bound via a carbon atom; R<sup>7</sup> represents a homocyclic group which may be substituted or a heterocyclic group which may be substituted; and each dotted line represents a single bond or a double bond.

23. A compound of claim 1, which is represented by the formula (e):

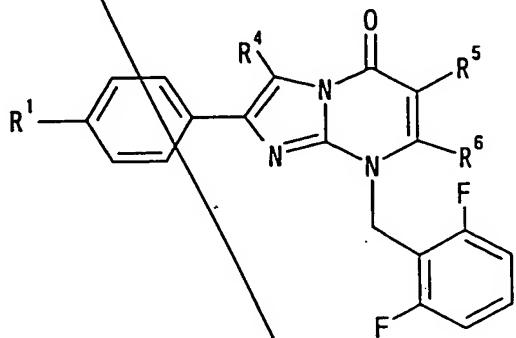


wherein each symbol is as defined in claim 1, or a salt thereof.

24. A compound of claim 23 or a salt thereof.

wherein  $R^4$  is a group of the formula:  $-(CH_2)_n-NR^{10}R^{11}$   
 wherein  $n$  is an integer from 1 to 3;  $R^{10}$  is hydrogen, a  $C_{1-10}$  hydrocarbon group which may be substituted, a  $C_{1-20}$  acyl group which may be substituted, a hydroxy group which may be substituted, a heterocyclic group which may be substituted, or a group of the formula:  $-S(O)t-R^{12}$   
 5 wherein  $t$  is an integer from 0 to 2, and  $R^{12}$  is hydrogen or a  $C_{1-10}$  hydrocarbon group which may be substituted; and  $R^{11}$  is hydrogen, a  $C_{1-10}$  hydrocarbon group or a  $C_{1-20}$  acyl group which may be substituted; or  $R^{10}$  and  $R^{11}$  form, 10 taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted.

25. A compound of claim 1, which is represented by the formula:



15 wherein each symbol is as defined in claim 1, or a salt thereof.

26. A compound of claim 25 or a salt thereof, wherein  $R^1$  is (1) an amino group which may be substituted by (i) carbamoyl which may be substituted by  $C_{1-6}$  alkyl or  $C_{1-6}$  alkoxy, or (ii)  $C_{1-6}$  alkyl-carbonyl, or (2) a  $C_{1-6}$  alkoxy group which may be substituted by  $C_{3-6}$  cycloalkyl;  
 $R^4$  is a  $N-C_{1-6}$  alkyl- $N$ -benzylaminomethyl group

~~R<sup>5</sup> is (1) a C<sub>1-6</sub> alkoxy-carbonyl group, (2) a C<sub>6-10</sub> aryl group which may be substituted by halogen or C<sub>1-6</sub> alkoxy, or (3) a phenyl-C<sub>1-3</sub> alkyl group; and~~

~~R<sup>6</sup> is hydrogen.~~

5        27. A compound of claim 25 or a salt thereof,  
wherein R<sup>1</sup> is (1) a nitro group,  
          (2) an amino group which may be substituted by 1  
          or 2 substituents selected from the group  
          consisting of (i) C<sub>1-6</sub> alkyl which may be  
10      substituted by hydroxy, (ii) C<sub>1-6</sub> alkyl-carbonyl  
          which may be substituted by hydroxy, halogen or  
          thienyl, (iii) C<sub>6-10</sub> aryl-carbonyl which may be  
          substituted by C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy or halogen,  
          (iv) C<sub>3-6</sub> cycloalkyl-carbonyl, (v) C<sub>2-4</sub> alkenyl-  
15      carbonyl, (vi) C<sub>1-6</sub> alkoxy-carbonyl, (vii) C<sub>1-6</sub>  
          alkylamino-carbonyl, (viii) C<sub>1-6</sub> alkoxyamino-  
          carbonyl, (ix) phenylaminocarbonyl, (x) an  
          isoxazolylcarbonyl, thienylcarbonyl,  
          thiazolylcarbonyl, pyrazolylcarbonyl or  
20      furylcarbonyl group which may be substituted by 1  
          or 2 substituents selected from the group  
          consisting of C<sub>1-6</sub> alkyl, nitro and C<sub>1-6</sub> alkoxy,  
          (xi) pyridylcarbonyl, (xii) C<sub>1-6</sub> alkylsulfonyl,  
          (xiii) thienylsulfonyl and (xiv) phenylsulfonyl  
25      which may be substituted by C<sub>1-6</sub> alkyl,  
          (3) a pyrrolyl group or  
          (4) a hydroxy group which may be substituted by  
          C<sub>1-6</sub> alkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl or C<sub>1-6</sub>  
          alkyl-carbonyl;  
30      R<sup>4</sup> is a C<sub>1-6</sub> alkyl group which may be substituted

by 1 or 2 substituents selected from the group consisting of (1) halogen, (2) hydroxy and (3) amino which may be substituted by 1 or 2 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, phenyl-C<sub>1-3</sub> alkyl and di-C<sub>1-6</sub> alkylamino-C<sub>1-3</sub> alkyl;

5 R<sup>5</sup> is (1) halogen, (2) a phenyl group which may be substituted by halogen or C<sub>1-6</sub> alkyl, or (3) a carbonyl group substituted by (i) C<sub>1-6</sub> alkyl,

10 (ii) amino substituted by C<sub>1-6</sub> alkyl and C<sub>1-6</sub> alkoxy or (iii) C<sub>1-6</sub> alkoxy; and

R<sup>6</sup> is hydrogen or a C<sub>1-3</sub> alkyl group.

28. 8-(2,6-Difluorobenzyl)-5,8-dihydro-2-[4-(ethylaminocarbonylamino)phenyl]-3-(N-methyl-N-benzylaminomethyl)-5-oxoimidazo[1,2-a]pyrimidine-6-carboxylic acid ethyl ester,

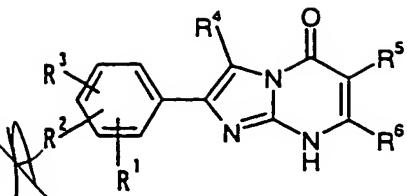
15 8-(2,6-difluorobenzyl)-5,8-dihydro-2-[4-(methoxyaminocarbonylamino)phenyl]-3-(N-methyl-N-benzylaminomethyl)-5-oxoimidazo[1,2-a]pyrimidine-6-carboxylic acid isopropyl ester,

20 8-(2,6-difluorobenzyl)-5,8-dihydro-2-[4-(ethylaminocarbonylamino)phenyl]-3-(N-methyl-N-benzylaminomethyl)-5-oxoimidazo[1,2-a]pyrimidine-6-carboxylic acid isopropyl ester, or salts

25 thereof.

29. A process for producing a compound of claim 23 or a salt thereof, which comprises reacting a compound of the formula (iv):

2017102624001



wherein each symbol is as defined in claim 23, or a salt thereof, with a compound of the formula:  $X^2-(CH_2)_m-R^7$   
 wherein  $X^2$  is a leaving group; and the other symbols are  
 5 as defined in claim 23, or a salt thereof.

SEARCHED INDEXED  
SERIALIZED FILEDS<sup>b</sup>  
A<sup>b</sup>

30. A pharmaceutical composition which comprises a compound of claim 1 or a salt thereof.

31. A composition of claim 30 which is a gonadotropin-releasing hormone antagonist.

10 32. A composition of claim 30 for preventing and/or treating a sex hormone dependent disease.

33. A composition of claim 30 for preventing and/or treating a sex hormone dependent cancer.

15 34. A composition of claim 30 for preventing and/or treating prostatic cancer, uterine cancer or breast cancer.

35. A composition of claim 30 for preventing and/or treating prostatic hypertrophy, endometriosis, hysteromyoma or precocious puberty.

20 36. A composition of claim 30 which is a pregnancy regulator.

37. A composition of claim 30 which is a menstruation cycle regulator.

25 38. A method for antagonizing gonadotropin-releasing hormone in a mammal in need thereof which comprises administering to said mammal an effective amount of a compound of claim 1 or a salt thereof with a

C<sup>1</sup>  
cont

~~C1  
cut~~

~~pharmaceutically acceptable excipient, carrier or diluent.~~

39. Use of a compound of claim 1 or a salt thereof  
for manufacturing a ~~pharmaceutical~~ composition for  
antagonizing gonadotropin-releasing hormone.

*add  
A4*